

Remarks

By way of this Preliminary Amendment, claims 1-21 and 23 are pending. Claims 19-21 have been amended to put the claims in a format appropriate for U.S. prosecution. Claim 23 has been added. No new matter has been added by way of these claim amendments and additions.

More specifically, claim 19 has been amended to include the recitation of a pharmaceutically acceptable carrier. Claims 20 and 21 have been amended to convert the Swiss-type use claim to the U.S. method of treatment format. Applicants submit that such amendments do not change the scope of the subject matter claimed in claims 20 and 21, but merely puts it in an alternative format. All claim amendments made merely address formalities in the claim format and do not change the scope of the claims. Such claim amendments are therefore not related to the patentability of the subject matter claimed.

Conclusion

Applicants respectfully submit that the pending claims, as amended, are in condition for allowance. Please charge any fees due with this amendment to deposit account number 13-3372. If the Examiner believes that a conversation with Applicants' attorney would be helpful in expediting prosecution of this application, the Examiner is invited to call the undersigned attorney at (203) 812-3964.

Respectfully submitted,

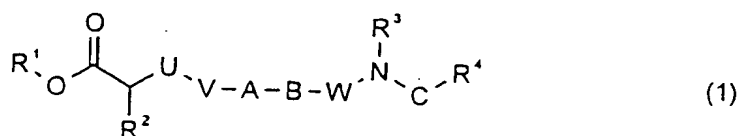
Dated: June 18, 2001

Bayer Corporation  
400 Morgan Lane  
West Haven, CT 06516  
(Tel) (203) 812-3964  
(Fax) (203) 812-5492  
e-mail: jerrie.chiu.b@bayer.com

Jerrie L. Chiu  
Jerrie L. Chiu  
Attorney for Applicants  
Reg. No. 41,670

09868305-082001

19. (Amended) A pharmaceutical composition comprising [at least one of the] a compound[s] as claimed in [one of] claim[s] 1 [to 11] and a pharmaceutically acceptable carrier.
20. (Amended) [The use of ] A method of treating or preventing an integrin-mediated disease or condition, comprising administering to a mammal an effective amount of a compound[s] as claimed in [one of] claim[s] 1 [to 11 for the production of pharmaceutical compositions having integrin-antagonistic action].
21. (Amended) [The use of] A method of inhibiting angiogenesis and/or for treating or preventing cancer, osteolytic diseases and ophthalmic disorders, comprising administering to a mammal an effective amount of a compound[s] of the general formula (1)



where

R<sup>1</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

R<sup>2</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an optionally substituted alkenyl residue, an optionally substituted alkynyl residue, -NR<sup>2'</sup>SO<sub>2</sub>R<sup>2'</sup>, -NR<sup>2'</sup>COOR<sup>2'</sup>, -NR<sup>2'</sup>COR<sup>2'</sup>, -NR<sup>2'</sup>CONR<sup>2'</sup><sub>2</sub> or -NR<sup>2'</sup>CSNR<sup>2'</sup><sub>2</sub>;

R<sup>2''</sup> is a substituted or unsubstituted alkyl, alkenyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue;

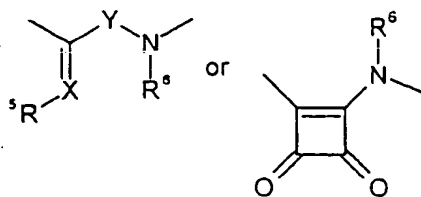
U is a direct bond or a substituted or unsubstituted alkylene group;

V is a substituted or unsubstituted alkylene group, -NR<sup>2'</sup>CO- or -NR<sup>2'</sup>SO<sub>2</sub>-;

A and B each independently of one another a 1,3- or 1,4-bridging phenylene group or a 2,4- or 2,5-bridging thienylene group each of which may optionally have additional substituents,

W is a direct bond or a substituted or unsubstituted alkylene group;

C is a direct bond or



R<sup>3</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of R<sup>4</sup>, Y, R<sup>5</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R<sup>3</sup> is bonded, and can be saturated or unsaturated and/or can contain further heteroatoms;

R<sup>4</sup> is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of R<sup>3</sup>, Y, R<sup>5</sup> or R<sup>6</sup>, if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which R<sup>4</sup> is bonded, and can be saturated or unsaturated and/or can contain further heteroatoms;

or is connected to one of  $R^3$ , Y,  $R^5$  or  $R^6$ , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which  $R^4$  is bonded, and can be saturated or unsaturated and/or can contain further heteroatoms;

X is  $CHNO_2$ ,  $CHCN$ , O, N or S;

Y is a direct bond or an optionally substituted alkylene or alkine group;

$R^5$  is absent, or is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue,  $-NO_2$ ,  $-CN$ ,  $-COR^{5c}$ ,  $-COOR^{5c}$ , or is connected to one of  $R^3$ , Y,  $R^4$  or  $R^6$ , if present, with formation of an optionally substituted carbocyclic or heterocyclic ring system which includes X and can be saturated or unsaturated and/or can contain further heteroatoms;

$R^{5c}$  is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl residue or a saturated or unsaturated, optionally substituted heterocyclic residue which can be saturated or unsaturated and/or can contain further heteroatoms;

$R^6$  is hydrogen, a substituted or unsubstituted alkyl or cycloalkyl residue, a substituted or unsubstituted aryl or aroyl residue, a saturated or unsaturated, optionally substituted heterocyclic residue, an alkylamine residue, an alkylamide residue or is connected to one of  $R^3$ ,  $R^4$ , Y or  $R^5$ , if present, with formation of an optionally substituted heterocyclic ring system which includes the nitrogen atom to which  $R^6$  is bonded and can be saturated or unsaturated and/or can contain further heteroatoms;

and their physiologically acceptable salts and stereoisomers[, for the production of a pharmaceutical composition for the inhibition or angiogenesis and/or for the therapy and prophylaxis of cancer, osteolytic diseases such as osteoporosis, arteriosclerosis, restenosis, rheumatoid arthritis and ophthalmic disorders].

22. canceled

09868305-082001  
F00280-50E89860